

PATENT/Docket No. PC10856B

Appl. No. 10/781,158

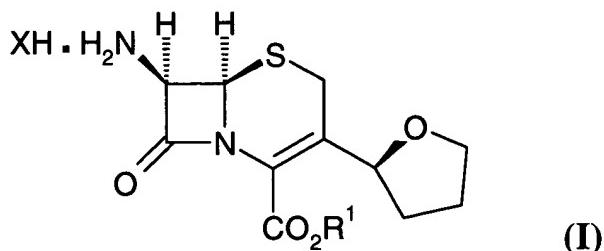
Filing Date: February 17, 2004

Reply to Office action of December 21, 2004

This listing of claims will replace all prior versions, and listings, of claims in the application:

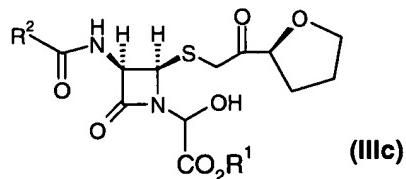
Listing of Claims:

1. (Currently amended) A process for preparing a compound of formula (I):

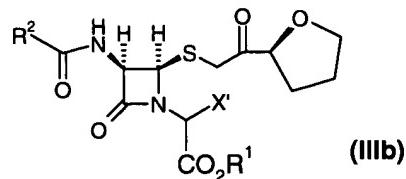


wherein R¹ is *para*-nitrobenzyl and X is halo, comprising the steps of:

- a) reacting a compound of formula (IIIc):

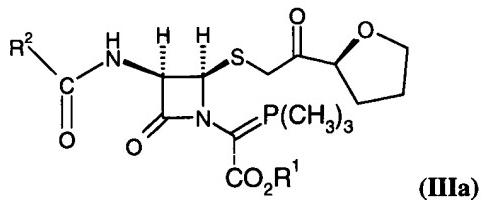


wherein R¹ is *para*-nitrobenzyl and R² is selected from the group consisting of C₁₋₆alkyl, C₆₋₁₀aryl, C₆₋₁₀arylC₁₋₆alkyl and dithianyl, with a halogenating agent, in a solvent and in the presence of a base, to form a compound of formula (IIIb):



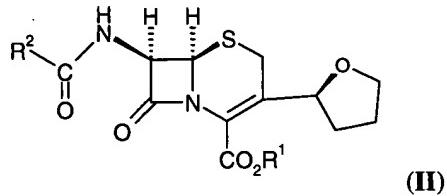
wherein R¹ is *para*-nitrobenzyl, R² is selected from the group consisting of C₁₋₆alkyl, C₆₋₁₀aryl, C₆₋₁₀arylC₁₋₆alkyl and dithianyl, and X' is halo;

- b) reacting said compound of formula (IIIb) with trimethylphosphine, in a solvent and in the presence of a base, to form a trimethylphosphinic compound of formula (IIIa):



wherein R^1 is *para*-nitrobenzyl and R^2 is selected from the group consisting of C₁₋₆alkyl, C₆₋₁₀aryl, C₆₋₁₀arylC₁₋₆alkyl and dithianyl;

- c) cyclizing said compound of formula (IIIa) in a solvent to form a compound of formula (II):



wherein R^1 is *para*-nitrobenzyl and R^2 is selected from the group consisting of C₁₋₆alkyl, C₆₋₁₀aryl, C₆₋₁₀arylC₁₋₆alkyl and dithianyl; and

- d) treating said compound of formula (II) with a Lewis acid of structure PX₅ wherein X is halo.

2. (Currently amended) A process according to claim 1, wherein said solvent in step (c) is selected from the group consisting of toluene, xylene, tetrahydrofuran, methylene chloride and acetonitrile.

3. (Currently amended) A process according to claim 1, wherein said acid in step (d) is phosphorus pentachloride or phosphorus pentabromide; and wherein X is chloro or bromo.

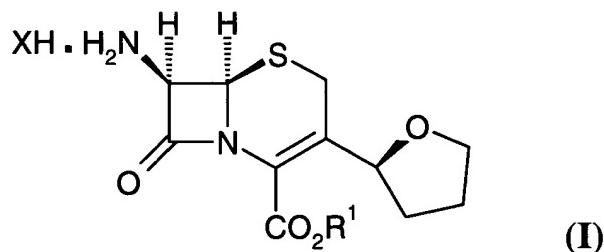
4. (Canceled)

5. (Currently amended) A process according to claim []1, wherein said solvent in step (b) is tetrahydrofuran, acetonitrile or methylene chloride.

6. (Currently amended) A process according to claim []1, wherein said base in step (b) is selected from the group consisting of imidazole, 2,6-lutidine, pyridine, N-methylmorpholine and sodium bicarbonate.

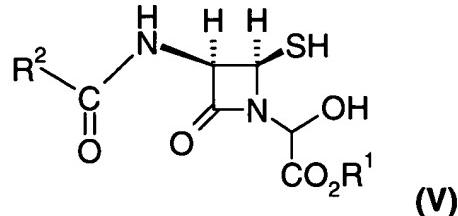
7. (Canceled)

8. (Currently amended) A process according to claim []1, wherein said halogenating agent in step (a) is thionyl chloride, thionyl bromide, phosphorus trichloride or phosphorus tribromide; and said X' in step (a) is chloro or bromo.
9. (Currently amended) A process according to claim []1, wherein said base in step (a) is selected from the group consisting of pyridine, 2,6-lutidine, N-methylmorpholine and imidazole.
10. (Currently amended) A process for preparing a compound of formula (I):

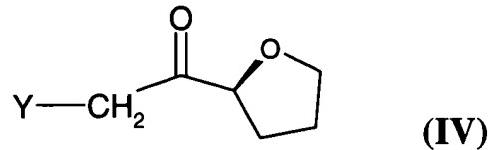


wherein R¹ is *para*-nitrobenzyl and X is halo; comprising the steps of:

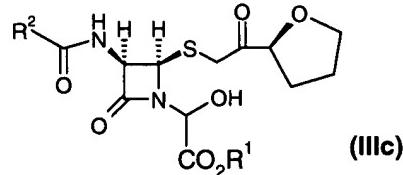
- a) reacting a compound of formula (V):



wherein said R¹ is *para*-nitrobenzyl and said R² is selected from the group consisting of C₁-alkyl, C₆-10aryl, C₆-10arylC₁-alkyl and dithianyl, with a compound of formula (IV):



wherein Y is a leaving group selected from the group consisting of bromo, chloro, fluoro, iodo and tosylate; in a solvent; to prepare a compound of formula (IIIc):



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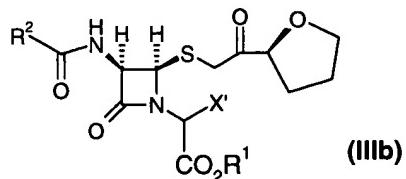
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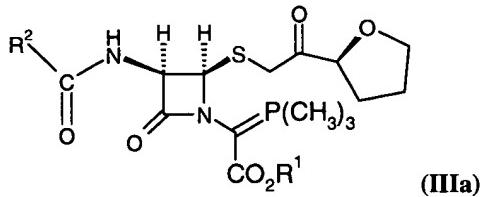
wherein R¹ is *para*-nitrobenzyl and R² is selected from the group consisting of C₁₋₆alkyl, C₆₋₁₀aryl, C₆₋₁₀arylC₁₋₆alkyl and dithianyl;

b) reacting said compound of formula (IIIc) with a halogenating agent, in a solvent and in the presence of a base, to form a compound of formula (IIIb):



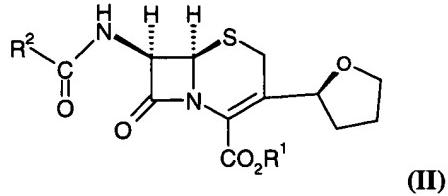
wherein R¹ is *para*-nitrobenzyl, R² is selected from the group consisting of C₁₋₆alkyl, C₆₋₁₀aryl, C₆₋₁₀arylC₁₋₆alkyl and dithianyl, and X' is halo;

c) reacting said compound of formula (IIIb) with trimethylphosphine, in a solvent and in the presence of a base, to form a trimethylphosphinic compound of formula (IIIa):



wherein R¹ is *para*-nitrobenzyl and R² is selected from the group consisting of C₁₋₆alkyl, C₆₋₁₀aryl, C₆₋₁₀arylC₁₋₆alkyl and dithianyl;

d) cyclizing said compound of formula (IIIa) in a solvent to form a compound of formula (II):



wherein R¹ is *para*-nitrobenzyl and R² is selected from the group consisting of C₁₋₆alkyl, C₆₋₁₀aryl, C₆₋₁₀arylC₁₋₆alkyl and dithianyl; and

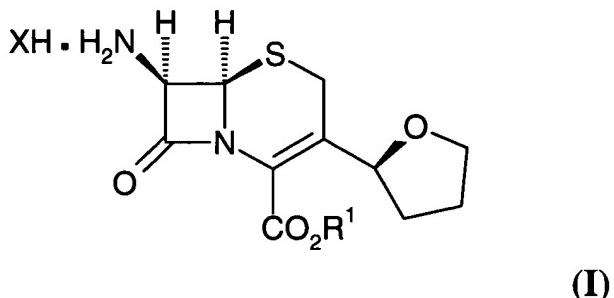
e) treating said compound of formula (II) with a Lewis acid of structure PX₅ wherein X is halo.

11. (Currently amended) A process according to claim 10, wherein said Y in step (a) is bromo or chloro.

12. (Currently amended) A process according to claim 10 wherein said solvent in step (a) is selected from the group consisting of alcohol, wherein said alcohol is selected from the group consisting of methanol, ethanol and propanol; methylene chloride; acetone; dimethylformamide or mixtures thereof.

13-31. (Canceled)

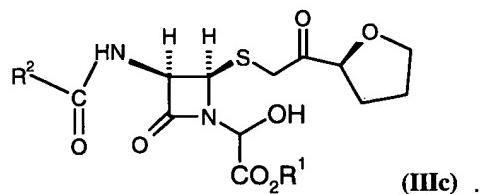
32. (Currently amended) A compound of formula (I):



wherein R¹ is *para*-nitrobenzyl and X is halo.

33. (Canceled)

34. (Currently amended) A compound of formula (IIIc):



wherein R¹ is *para*-nitrobenzyl and R² is selected from the group consisting of C₁₋₆alkyl, C₆₋₁₀aryl, C₆₋₁₀arylC₁₋₆alkyl and dithianyl.

35-39. (Canceled)

40. (New) A process according to claim 1, wherein: said solvent in step (c) is selected from the group consisting of toluene, xylene, tetrahydrofuran, methylene chloride and aceotnitrile, said

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acid in step (d) is phosphorus pentachloride or phosphorus pentabromide; said X in step (d) is chloro or bromo; said solvent in step (b) is tetrahydrofuran, acetonitrile or methylene chloride; said base in step (b) is selected from the group consisting of imidazole, 2,6-lutidine, pyridine, N-methylmorpholine and sodium bicarbonate; said X' in step (b) is chloro or bromo; said halogenating agent in step (a) is thionyl chloride, thionyl bromide, phosphorus trichloride or phosphorus tribromide; and said base in step (a) is selected from the group consisting of pyridine, 2,6-lutidine, N-methylmorpholine and imidazole.